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## INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Sheet 1 of 3

Application Number	10/690,115
Filing Date	October 21, 2003
First Named Inventor	Richard Apodaca
Group Art Unit	1624
Examiner Name	COLEMAN
Attorney Docket Number	PRD 2033 NP

### U.S. PATENT DOCUMENTS

Examiner Initials	Cite No. <sup>1</sup>	U.S. Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document mm-dd-yyyy	Pages, Columns, Lines, where relevant passages or relevant figures appear	
		Number	Kind Code <sup>2</sup> (if known)				
BC		USPN 3,888,160		Tweit, Robert C.	05-27-1975	544	316
BC		USPN 3,714,179		Tweit, Robert C.	01-30-1973	548	315.7
BC		USPN 5,030,644		Baldwin et al.	07-09-1991	514	393
BC		USPN 5,217,986		Pomponi, S.A. et al.	06-08-1993	514	400
BC		USPN 5,352,707		Pompri, S.A. et al.	10-04-1994	514	651
BC		USPN 5,869,479		Kreutner, W.; Hey, J.A.	02-08-1999	514	217.05

### FOREIGN PATENT DOCUMENTS

Examiner Initials	Cite No. <sup>1</sup>	Foreign Patent Document			Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document mm-dd-yyyy	Pages, Columns, Lines, where relevant passages or relevant figures appear	T <sup>3</sup>
		Office <sup>3</sup>	Number <sup>4</sup>	Kind Code <sup>5</sup>				
BC	✓	WO	99/42458		James Black Foundation Limited	08-28-1999		
BC	✓	EP	0878512	A1	Societe Civile Bioprojet	02-09-2000		
** BC	✓	JP	02308237	A2	Kato et al.	12-19-1990		
BC	✓	WO	02/076925	A2	Eli Lilly and Company	10-03-2002		
BC	✓	WO	03/050099	A1	Ortho-McNeil Pharmaceutical, Inc.	08-18-2003		
BC	✓	WO	02/024695	A2	Ortho-McNeil Pharmaceutical, Inc.	03-28-2002		
BC	✓	WO	02/012214	A2	Ortho-McNeil Pharmaceutical, Inc.	02-14-2002		
BC	✓	WO	02/012190	A2	Ortho-McNeil Pharmaceutical, Inc.	02-14-2002		
BC	✓	WO	03/064411	A1	Novo Nordisk	08-07-2003		
BC	✓	WO	03/031432	A1	Novo Nordisk	04-17-2003		
BC	✓	WO	03/024929	A1	Novo Nordisk	03-27-2003		
BC	✓	WO	03/004480	A2	Novo Nordisk	01-16-2003		
BC	✓	WO	03/024928	A2	Novo Nordisk	03/27/2003		

Examiner Signature	Brenda Coleman	Date Considered	May 30, 2006
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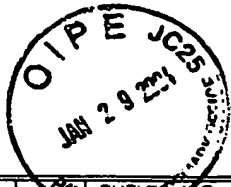
## INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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First Named Inventor	Richard Apodaca
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OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS			
Examiner's Initials*	Cite No.†	Include name of the author (in CAPITOL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published	T²
BC	✓	ALBENGRES, E. et al. Systemic Antifungal Agents. Drug Safety (Feb. 1998) 18(2):83-97	
BC	✓	ALI, S.M. et al. Design, Synthesis, and Structure-Activity Relationships of Acetylene-Based Histamine H3 Receptor Antagonists. J. Med. Chem. (1999) 42(5):903-909	
BC	✓	ARRANG, J.-M. et al. Auto-inhibition of Brain Histamine Release Mediated by a Novel Class (H3) of Histamine Receptor. Nature (April 1983) 302:832-837	
BC	✓	ASH, A.S.F.; SCHILD, H.O. Receptors Mediating Some Actions of Histamine. Br. J. Pharmac. Chemother. (1968) 27:427-439	
BC	✓	BACK, D.J.; TJIA, J.F. Inhibition of Tolbutamide Metabolism by Substituted Imidazole Drugs In Vivo: Evidence for a Structure-Activity Relationship. Br. J. Pharmacol. (1985) 85:121-126	
BC	✓	BARNES, J.C. et al. The Selective Histamine H3 Receptor Antagonist Thioperamide Improves Cognition and Enhances Hippocampal Acetylcholine Release In Vivo. Soc. Neurosci. Abstr. (1993) 19:1813	
BC	✓	Bioworld Today, March 2, 1999, page 3	
BC	✓	BLACK, J.W. et al. Definition and Antagonism of Histamine H2-Receptors. Nature (April 1972) 238:385-390	
BC	✓	DING, Y.-S. et al. Synthesis of High Specific Activity (+)- and (-)-8-[18F]Fluoronorepinephrine via the Nucleophilic Aromatic Substitution Reaction. J. Med. Chem. (1991) 34(2):767-771	
BC	✓	GANELLIN, C.R. et al. Synthesis of Potent Non-Imidazole Histamine H3-Receptor Antagonists. Arch. Pharm. Pharm. Med. Chem. (Weinheim, Ger.) (1998) 331:395-404	
BC	✓	GARBARG, M. et al. S-[2-(4-Imidazolyl)ethyl]isothiurea, a Highly Specific and Potent Histamine H3 Receptor Agonist. J. Pharmacol. Exp. Ther. (1992) 263(1):304-310	
BC	✓	Giltech Inc. Press Release Nov. 5, 1998	
BC	✓	GONZALEZ, F. GARCIA, et al. Synthesis of 3-aryl(alkyl)-4-(D-arabino-tetrahydroxybutyl)imidazoline-2-thiones. Carbohydrate Research, 22(2), 438-40 (English) 1988	
BC	✓	ICHINOSE, M.; BARNES, P.J. Histamine H3-Receptors Modulate Nonadrenergic Noncholinergic Neural Bronchoconstriction in Guinea-Pig In Vivo. Eur. J. Pharmacol. (1989) 174(1):49-55	
BC	✓	IMAMURA, M. et al. Unmasking of Activated Histamine H3-Receptors in Myocardial Ischemia: Their Role as Regulators of Exocytotic Norepinephrine Release. J. Pharmacol. Exp. Ther. (1994) 271(3):1259-1266	
BC	✓	JONES, R.G. Studies on Imidazoles. II. The Synthesis of 5-Imidazolecarboxylates from Glycine and Substituted Glycine Esters. J. Am. Chem. Soc. (1949) 71:644-647	
BC	✓	JORDAAN, A.; ARNDT, R.R. The Synthesis of 1-Methyl-5-( $\alpha$ -indolyl)imidazole and 1-Methyl-2-ethylthiol-5-( $\alpha$ -indolyl)imidazole. Journal of Heterocyclic Chemistry 5(5), 723-5 (English) 1968	
BC	✓	KAPETANOVIC, I.M.; KUPFERBERG, H.J. Nafimidone, an Imidazole Anticonvulsant, and Its Metabolite as Potent Inhibitors of Microsomal Metabolism of Phenytoin and Carbamazepine. Drug Metab. Dispos. (1984) 12(5):580-584	
BC	✓	KORTE, A. et al. Characterization and Tissue Distribution of H3 Histamine Receptors in Guinea Pigs by N alpha-Methylhistamine. Biochem. Biophys. Res. Commun. (May 1990) 168(3):979-986	
BC	✓	KRAUSE, M. et al. Medicinal Chemistry of Histamine H3 Receptor Agonists; In The Histamine H3 Receptor - A Target for New Drugs Leurs, R.; Timmerman, H. (Eds.) Elsevier (1998) 175-198	
BC	✓	LAVRIJSEN, K. et al. Induction Potential of Antifungals Containing an Imidazole or Triazole Moiety. Biochem. Pharmacol. (1988) 35(11):1867-1878	
BC	✓	LEURS, R. et al. The Medicinal Chemistry and Therapeutic Potentials of Ligands of the Histamine H3 Receptor. Prog. Drug Res. (1995) 45:107-165	
BC	✓	LEURS, R. et al; "Therapeutic potential of histamine H3 receptor agonists and antagonists" Trends in Pharmacological sciences, Elsevier Trends Journal, Cambridge, BG, vol. 19, no. 5, 1 May 1998; Pages 177-184, XP004121095	
BC	✓	LIN, J.-S. et al. Involvement of Histaminergic Neurons in Arousal Mechanisms Demonstrated with H3-Receptor Ligands in the Cat. Brain Res. (1990) 523:325-330	
BC	✓	LINNEY, I.D. et al. Design, Synthesis, and Structure-Activity Relationships of Novel Non-Imidazole Histamine H3 Receptor Antagonists. J. Med. Chem. (2000) 43(12):2362-2370	
BC	✓	LOVENBERG, T.W. et al. Cloning and Functional Expression of the Human Histamine H3 Receptor. Mol. Pharmacol. (1999) 55:1101-1107	
BC	✓	LOVENBERG, T.W. et al. Cloning of Rat Histamine H3 Receptor Reveals Distinct Species Pharmacological Profiles. J. Pharmacol. Exp. Ther. (2000) 293(3):771-778	
BC	✓	MACHIDORI, H. et al. Zucker Obese Rats: Defect in Brain Histamine Control of Feeding. Brain Res. (1992) 590:180-188	
BC	✓	MCLEOD, R.L. et al. Antimigraine and Sedative Activity of SCH 50971: A Novel Orally-Active Histamine H3 Receptor Agonist. Soc. Neurosci. Abstr. (1998) 22:2010	
BC	✓	MEIER, G. et al. Piperidino-Hydrocarbon Compounds as Novel Non-Imidazole Histamine H3-Receptor Antagonists. Bioorg. Med. Chem. (2002) 10:2535-2542	
BC	✓	MONTI, J.M. et al. Effects of Selective Activation or Blockade of the Histamine H3 Receptor on Sleep and Wakefulness. Eur. J. Pharmacol. (1991) 205(3):283-287	
BC	✓	MORISSET, S. et al. High Constitutive Activity of Native H3 Receptors Regulates Histamine Neurons in Brain. Nature (Dec. 2000) 408:860-864	
BC	✓	ODA, T. et al. Molecular Cloning and Characterization of a Novel Type of Histamine Receptor Preferentially Expressed in Leukocytes. J. Biol. Chem. (2000) 275(47):36781-36788	
BC	✓	PANULA, P. et al. Significant Changes in the Human Brain Histaminergic System in Alzheimer's Disease. Soc. Neurosci. Abstr. (1995) 21:1977	



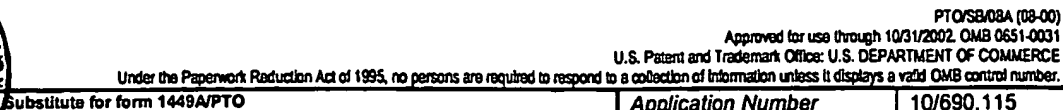
BC	✓	PHILLIPS, M.E. Positron Emission Tomography Provides Molecular Imaging of Biological Processes. Proc. Natl. Acad. Sci. (2000) 97(18):9226-9233
BC	✓	PHILLIPS, J.G.; ALI, S.M. Medicinal Chemistry of Histamine H3 Receptor Antagonists; In The Histamine H3 Receptor - A Target for New Drugs Leurs, R.; Timmerman, H. (Eds.) Elsevier (1998) 197-222
BC	✓	PHILLIPS, J.G. et al. Chapter 4, Recent Advances in Histamine H <sub>3</sub> Receptor Agents. Ann. Reports in Med. Chem., 31, 1998, pages 31-40
BC	✓	ROULEAU, A. et al. Bioavailability, Antinociceptive and Antiinflammatory Properties of BP 2-94, a Histamine H3 Receptor Agonist Prodrug. J. Pharmacol. Exp. Ther. (1997) 281(3):1085-1094
BC	✓	SABBATINI, RENATO, M.E., The Cyclotron and PET. In Brain & Mind an electronic magazine about Neuroscience [online], March, 1997. Retrived from the internet, <http://www.epub.org.br/cm/n01/pet/petcycto.htm>
BC	✓	SCHLICKER, E.; MARR, I. The Moderate Affinity of Clozapine at H3 Receptors Is Not Shared by Its Two Major Metabolites and by Structurally Related and Unrelated Atypical Neuroleptics. Naunyn-Schmiedeberg's Arch. Pharmacol. (1998) 353:290-294
BC	✓	SHEETS, J.J.; MASON, J.I. Ketoconazole: a Potent Inhibitor of Cytochrome P-450-Dependent Drug Metabolism in Rat Liver. Drug Metab. Dispos. (1984) 12(5):603-608
BC	✓	STARK, H. et al. Developments of Histamine H3-Receptor Antagonists. Drugs Future (1996) 21(5):507-520
BC	✓	TOZER, M.J., et al.: "From Histamine to imidazolylalkyl-sulfonamides: the design of a novel series of histamine H3 receptor antagonists"; Bioorganic & Medicinal Chemistry Letters, OXFORD, GB, vol. 9, no. 13, 5 July 1999, Pages:1825-1830, XP004168848
BC	✓	TOZER, M.J.; KALINDJIAN, S.B. Histamine H3 Receptor Antagonists. Exp. Opin. Ther. Patents (2000) 10(7):1045-1055
BC	✓	WALCZYNSKI, K. et al. Non-Imidazole Histamine H3 Ligands, Part 2: New 2-Substituted Benzothiazoles as Histamine H3 Antagonists. Arch. Pharm. Pharm. Med. Chem. (Weinheim, Ger.) (1999) 332:389-398
BC	✓	WALCZYNSKI, K. et al. Non-Imidazole Histamine H3 Ligands. Part I. Synthesis of 2-(1-Piperazinyl)- and 2-(Hexahydro-1H-1,4-diazepin-1-yl)benzothiazole Derivatives as H3-Antagonists with H1 Blocking Activities. Farmaco (1999) 54:684-694
BC	✓	WEST, R.E. et al. Identification of Two H3-Histamine Receptor Subtypes. Mol. Pharmacol. (1990) 38(5):610-613
BC	✓	WEST, R.E., Jr. et al. The Profiles of Human and Primate [3H]N alpha-methylhistamine Binding Differ from That of Rodents. Eur. J. Pharmacol. (1999) 377:233-239
BC	✓	YOKOYAMA, H. et al. Effect of Thioperamide, a Histamine H3 Receptor Antagonist, on Electrically Induced Convulsions in Mice. Eur. J. Pharmacol. (1993) 234:129-133
BC	✓	ANJANEYULU, B. et al. Synthesis of 14C-Labelled 1-Methanesulphonyl-3-(1-methyl-5-nitro-1H-imidazol-2-yl)-2-imidazolidinone, (Go 10213). J. Labelled Compd. Radiopharm. (1983) 20(8):951-961
BC	✓	ITEMURA, R. et al. Synthesis of Benzimidazole Derivatives as Potential H1-Antihistaminic Agents. J. Heterocycl. Chem. (1987) 24:31-37
BC	✓	IWATA, R. et al. Synthesis of 3-[1H-imidazol-4-yl]propyl 4-[18F]fluorobenzyl Ether ([18F]Fluoropropylfan): A Potential Radioligand for Imaging Histamine H3 Receptors. J. Labelled Compd. Radiopharm. (2000) 43:873-882
BC	✓	JAROSINSKI, M.A.; ANDERSON, W.K. Preparation of Noncondensed 2-Substituted 1-Methylimidazoles via Ipsso Substitution Reaction on 2-Sulfinyl or 2-Sulfonyl Derivatives of 4,5-Disubstituted 1-Methylimidazoles. J. Org. Chem. (1991) 56(12):4058-4062
BC	✓	OHTA, S. et al. Synthesis and Application of Imidazole Derivatives. Introduction of Carbogenic Substituents into the 5-Position of 1-Methyl-1H-imidazole. Chem. Pharm. Bull. (1992) 40(10):2681-2685
BC	✓	PHILLIPS, B.T. et al. Preparation of 5-Substituted 2-Mercapto-1-methylimidazoles. Direct Metalation of 2-Mercapto-1-methylimidazole. Synthesis (1990):761-763
BC	✓	SCHNETTLER, R.A. et al. 4-Aroyl-1,3-dihydro-2H-imidazol-2-ones, a New Class of Cardiotonic Agents. J. Med. Chem. (1982) 25:1477-1481
BC	✓	SHAPIRO, G.; MARZI, M. Synthesis of 2,5-Dilithio-1-methylimidazole. Tetrahedron Lett. (1993) 34(21):3401-3404
BC	✓	ERDELYI, M.; GOGOLL, A. Rapid Homogeneous-Phase Sonogashira Coupling Reactions Using Controlled Microwave Heating. J. Org. Chem. (2001) 66(12):4165-4169
BC	✓	APODACA, R. et al. A New Class of Diamine-based Histamine H3 Receptor Antagonists: 4-(Aminoalkoxy)benzylamines. J. Med. Chem. (2003) 46(18):3938-3944
BC	✓	STARK, H. Recent Advances in Histamine H3/H4 Receptor Ligands. Expert Opin. Ther. Patents (2003) 13(6):851-865
BC	✓	Phenylalkynes to Treat Histamine-Mediated Conditions. Expert Opin. Ther. Patents (2003) 13(11):1759-1762

Examiner Signature	Brenda Coleman	Date Considered	May 30, 2006
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Sheet 1 of 2

Application Number	10/690,115
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First Named Inventor	Ricahrd L. Apodaca et al.
Group Art Unit	11624
Examiner Name	COLEMAN
Attorney Docket Number	PRD-2033

## FOREIGN PATENT DOCUMENTS

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**OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS**

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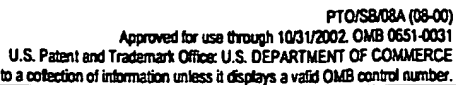
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